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I (Name and address of applicant, and, in case of a body corporate, place of incorporation)

WOLNERMAN, Joseph Simcha
An Israeli citizen
32 Nelson Glick Street
Jerusalem 97233
ISRAEL

וולנרמן, יוסף שמחה
אזרח ישראלי
רחוב נלסון גליק 32
ירושלים 97233
ישראל

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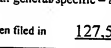
בעברית
(Hebrew)

A COMPOSITION CONTAINING AN EXTRACT OF A CITRUS FRUIT

(באנגלית)
English

Hereby apply for a patent to be granted to me in respect thereof.

מבקש בזאת כי ינתן לי עליה פטנט.

יבקשת חלוקה Application for Division		יבקשת פטנט מוסף - Application for Patent of Addition		יבדישת דין קדימה Priority Claim	
מבקשת פטנט from Application No. _____ מס' _____ Date _____ מיום _____		ילבקשה/לפטנט to Patent/Appl. No. _____ מס' _____ Date _____ מיום _____		מדינת האיגוד Convention Country	
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תכשיר אורלי

An Oral Composition

Field of the Invention:

The invention relates to a composition for topical oral administration. More specifically, the invention relates to a composition for topical oral administration comprising an extract of a citrus fruit in combination with a suitable carrier.

Description of the Prior Art:

Dental calculus, or tartar, is recognized as a recurring calcified deposit on the surfaces of teeth. It is generally recognized that dental calculus develops in a sequential process that involves the accumulation of dental plaque and the subsequent calcification of the plaque by saliva, which has very high concentrations of calcium and phosphate. Although calculus, per se, is not directly responsible for the development of oral diseases, it is recognized as a secondary, or contributing, factor in the development of periodontal disease because: (1) its presence on the teeth serves as a local irritant to the adjacent soft tissues, eliciting an inflammatory response (and soft tissue inflammation is the initial phase of periodontal disease); (2) it interferes with the normal cleansing of the tooth surfaces, which occurs during the mastication of food or through the performance of conventional oral hygiene procedures, such as toothbrushing and flossing; and (3) it harbors bacterial toxins, which exacerbate periodontal disease formation, by virtue of its porosity. Once formed, calculus deposits can only be removed through concerted mechanical procedures, i.e., a dental prophylaxis, scaling or root planing in deep pockets..

Oral tissue diseases such as gingivitis and periodontitis are a common affliction which necessitate constant care for prevention and treatment. The domestic personal use of a toothbrush, toothpaste, mouthwash, dental floss

and dental tooth picks are recommended for removing food particles, cleaning tooth surfaces and stimulating the gums.

While a toothbrush has a primary function of removing food particles, the toothpaste has secondary function. The toothpaste is provided to encourage brushing by its texture, flavor and odor.

The texture dampens the rigid-dry sensation of the toothbrush, while the flavoring and fragrant components mask the taste of therapeutic substances within the toothpaste. An example of such a substance is a detergent aimed at assisting the removal of fats which adhere to the teeth.

Summary of Invention

According to the present invention there is now provided a composition for topical oral administration comprising an extract of a citrus fruit in combination with a suitable carrier for prevention and treatment of oral disease.

In a preferred embodiment of the present invention the composition comprises an extract of the outer peel of said fruit.

In an even further preferred embodiment of the present invention the extract is an aromatic oil.

In a most preferred embodiment the extract is an ether oil.

In preferred embodiments of the present invention said fruit is selected from the group consisting of lemon, pomella and citron.

In a most preferred embodiment of the present invention said fruit is a citron.

In another aspect of the invention, a synergistic effect is obtained by combining the above-mentioned extract with Carnallite or salts thereof in a synergistic and effective amount.

Thus, in another preferred embodiment of the present invention said extract is combined with a salt selected from the group consisting of MgBr_2 , NaCl , KCl and mixtures thereof.

In a preferred embodiment of the invention the Carnallite is present in an amount of about 5-50% wt/wt.

In a further preferred embodiment the composition has a saline concentration of about 50% and an effective amount of said extract is added immediately before application.

The composition of the present invention will be combined with a suitable carrier selected from the group consisting of toothpaste, mouthwash, lozenges, chewing gum and toothpowder.

In a preferred embodiment of the present invention the extract is present in an amount of up to 0.08% wt/wt.

In an especially preferred embodiment of the present invention the extract is present in an amount of up to 0.04% wt/wt.

In another aspect of the invention there is provided a method for oral treatment, consisting of administering to a patient a composition comprising an extract of a citron fruit in combination with a suitable carrier.

In a preferred embodiment there is provided a method for oral treatment, consisting of administering to a patient a composition comprising an extract of a citron fruit further comprising Camallite in a synergistic amount, in combination with a suitable carrier.

The present invention further provides a method for treating and/or preventing plaque, dental calculus, gingivitis, periodontitis, and oral viral diseases selected from the group consisting of Acute Necrotizing Ulcerative Gingivitis and Recurrent Aphtosis in a patient.

In an even further preferred embodiment there is provided a method for reducing the depth of periodontal pockets in a patient, comprising administering any of the compositions mentioned above.

The citrus fruit extract of the present invention, preferably in combination with a Camallite salt, can also be microencapsulated by methods and with components known per se.

The extraction of the aromatic oils of the present invention was carried out by the known method of cold-press. The entire fruit was processed and the resulting oil and fluid (juice) was separated by centrifugation. The final aromatic oil percentage was around 0.05 of the original mass processed within the cold-press.

The extraction of the etheric oil of the present invention was achieved utilizing steam distillation. In this process fresh peels were utilized resulting in about 1.5% by weight of ether oil in comparison to the original of said peels.

As will be realized, the object of the present invention is to improve the oral hygiene of patients.

Detailed Description of Invention

Active Ingredient A

An Extract of a Citron Fruit

Active Ingredient B

CARNALLITE having the following characteristics:

Minerals	Grams/liter
MgCl ₂	170-240
NaCl	15-25
KCl	13-24
CaCl ₂	40-58
MgBr ₂	5-12

The Carnallite is effective in fluid absorption and in the reduction of swelling and edema. These effects are facilitated by the changes in osmotic pressure affected by the presence of the above minerals. The magnesium and the bromide have antiseptic and anti-inflammatory properties.

Silica can also be added to the above list. The silica portion of the formulation functions as a soft abrasive and polishing agent. It also has mechanical functions such as removal of dental plaque, bacteria and food particles and tends to brighten the enamel.

The potassium and calcium, in combination with fluoride (not mentioned above), induce demineralization of the bone and of the dentine which was

affected by caries at the cervical area of the tooth surface. As a result, there is a decrease in the cervical sensitivity of the teeth.

The fluid absorption facilitated by salt concentration has a stimulating effect on the gingival fluid thereby creating an increased secretion/production of immunal globulins. As a result, the immunal globulin concentration within the gingivital fluid is much higher than the concentration found within the saliva. This phenomenon prevents the development of anaerobic bacteria found within the gingival pocket.

The natural materials of the present invention serve as stimulators for the immune system. They also have a local effect; they encourage the secretion of gingival fluid to gingival pockets.

Like with other homeopathic medicines, using the compositions of the present invention raises the level of immunoglobolins in the saliva, with a rise in the general saliva secretion. This prevents the pathogenic bacteria from sticking to the teeth and detains their growth and proliferation.

The above compositions encourage the creation of a new periodontal ligament replacing the ligament which has been destroyed during the Periodontitis disease by widening blood vessels, proliferating capillary blood vessels, enlarging the perfusion and remineralizing the bone and the periodontal cement.

The present invention may eliminate the use of various detergents which are a common component of toothpaste. This may resolve the problem of the unspecified inflammatory reaction that often afflicts those using regular toothpaste. One can find in the dental literature a description of the linkage

between Aphtos Stomatitis and a decline in the immune reaction of the mouth and the prevalence of detergents in toothpaste.

While the invention will now be described in connection with certain preferred embodiments in the following examples so that aspects thereof may be more fully understood and appreciated, it is not intended to limit the invention to these particular embodiments. On the contrary, it is intended to cover all alternatives, modifications and equivalents as may be included within the scope of the invention as defined by the appended claims. Thus, the following examples which include preferred embodiments will serve to illustrate the practice of this invention, it being understood that the particulars shown are by way of example and for purposes of illustrative discussion of preferred embodiments of the present invention only and are presented in the cause of providing what is believed to be the most useful and readily understood description of formulation procedures as well as of the principles and conceptual aspects of the invention.

Example 1:

A 6-month trial was carried out, utilizing the three following components and combinations thereof:

Component A: An extract of a citron fruit

Component B: Carnallite

Component C:

Plant extracts from:

- (1) Salvia (fruticosa)
- (2) Junjerus

Component A was prepared as follows: The citron leaves and the citron outer peel were boiled in water (100 °C) for up to one minute, crushed in

blender and filtered. The resulting fluid was added to a salt solution having a final salt concentration of 25%.

The periodontal improvement was measured according to the Periodontal screening Index (PSI) and the results are presented in Table I:

TABLE 1

Component	A+B	B+C	C	A	B	Point of Origin
PSI	3.04	1.33	1.15	1.25	1.21	1

As can be seen, the citron extract produced better results than the Carnallite (Component B), or Component C alone, however when the citron extract (A) was combined with the Carnallite (B) the results were about three-fold greater than any of the individual components.

Example 2:

Maximum effectiveness is accomplished in a salt solution having a concentration of 50% in an aqueous solution when adding the active ingredient A a short period before brushing. The preferred application would include the addition of one drop of ether oil onto the toothbrush shortly before brushing.

Although the effectiveness of said solution is practically instantaneous, the long-term therapeutic effect on the gums is apparent after two weeks, while the periodontal screening index (PSI), continues to increase (indicating an improvement) throughout the duration of applying the above composition.

The first observable phenomenon upon initiating treatment with the above solution is the disappearance of bleeding from the gums. In addition, during a probing procedure (the measurement of pocket depth), the bleeding effects are significantly lower. At a later stage, the teeth appear to be more rigidly anchored within the gums.

As will be seen in Table 2 below, an impressive result is the reduction in pocket depth. This result is apparent within the first week of treatment and achieves peak results after a month.

Table 2								
Pocket Reduction		Reduced Bleeding		Gingival Index		Plaque Index		Week
				A+B	Control	A+B	Control	
A+B	Control	A+B	Control					
84	92	6	8	1.05	0.95	1.35	2.9	1
75	92	2	9	1.1	0.75	0.8	2.85	2
62	96	0	10	1.05	0.78	0.8	2.9	4
56	92	0	10	1.15	0.8	1.0	2.8	12
100		10		1.2		3.2		Baseline

The overall results point to the following attributes:

1. The formula prevents the creation of plaque and dental calculus.
2. The formula cures gum diseases. Gingivitis as well as Periodontitis. Bleeding from the gums stops soon after initiating treatment. The depth of the periodontal pockets is reduced to half within one to two weeks of treatment.

3. The formula quickens the healing processes of inflammatory phenomenon of the oral cavity, in situations of viral diseases such as Acute Necrotizing Ulcerative Gingivitis and Recurrent Aphthosis.

4. The materials have proven to be safe and efficient.

5. In certain situations, we observed the phenomenon of remineralization of the tooth in areas of caries, especially in the cervical area of the tooth.

6. With the curing of the inflammations, the situation of those suffering from an unpleasant odor from the oral cavity (Fetor-Ex-Ore) had improved immensely.

Example 3:

Using solutions having a concentration of 10% the salts presented in table 3 below were applied after tooth brushing, in the form of a mouth wash. The mouth wash was applied for 30 seconds, twice a day, for a week. The following results were measured according to the periodontal index (PI):

TABLE 3

Salts	Carnallite	Mg Br ₂	Kcl	NaCl	Point of Origin
PI	1.87	1.58	1.47	1.32	1

Example 4:

Aromatic oils of each of the fruits represented within Table 4 below were added to carnallite salt solutions having a salt concentration of 25%. The solutions were used for brushing (the teeth) for one minute, twice a day during two weeks. The following results were measured according to the periodontal index (PI):

TABLE 4

Component B in addition to	Orange	Pomella	Lemon	Citron	Point of Origin
	1.15	1.77	2.02	2.98	1

It will be evident to those skilled in the art that the invention is not limited to the details of the foregoing illustrative embodiments and that the present invention may be embodied in other specific forms without departing from the spirit or essential attributes thereof. The present embodiments are therefore to be considered in all respects as illustrative and not restrictive, the scope of the invention being indicated by the appended claims rather than by the foregoing description, and all changes which come within the meaning and range of equivalency of the claims are therefore intended to be embraced therein.

WHAT IS CLAIMED IS:

1. A composition for topical oral administration for prevention and treatment of oral disease comprising an extract of a citrus fruit in combination with a suitable carrier .
2. A composition according to claim 1, wherein said extract is an extract of the outer peel of said fruit.
3. A composition according to claim 2, wherein said extract is an aromatic oil.
4. A composition according to claim 3, wherein said extract is an ether oil.
5. A composition according to claim 1, wherein said fruit is selected from the group consisting of lemon, pomella and citron.
6. A composition according to claim 1, wherein said fruit is a citron.
7. A composition according to claim 1, further comprising a salt selected from the group consisting of $MgBr_2$, NaCl, KCl and mixtures thereof.
8. A composition according to claim 1, further comprising Carnallite in a synergistic and effective amount.
9. A composition according to claim 8, wherein said Carnallite is present in an amount of about 5-50% wt/wt.

10. A composition according to claim 9, wherein said composition has a saline concentration of about 50%.
11. A composition according to claim 1, wherein said suitable carrier is selected from the group consisting of toothpaste, mouthwash, lozenges, chewing gum and toothpowder.
12. A composition according to claim 1, wherein said extract is present in an amount of up to 0.08% wt/wt.
13. A composition according to claim 1, wherein said extract is present in an amount of up to 0.04% wt/wt.
14. A method for oral treatment, consisting of administering to a patient a composition comprising an extract of a citron fruit in combination with a suitable carrier.
15. A method for treating and/or preventing plaque in a patient, comprising administering a composition of claim 14.
16. A method for treating and/or preventing dental calculus in a patient, comprising administering a composition of claim 14.
17. A method for treating and/or preventing gingivitis in a patient, comprising administering a composition of claim 14.
18. A method for treating and/or preventing periodontitis in a patient, comprising administering a composition of claim 14.

19. A method for treating and/or preventing oral viral diseases selected from the group consisting of Acute Necrotizing Ulcerative Gingivitis and recurrent Aphtosis in a patient, comprising administering a composition of claim 14.
20. A method for reducing the depth of periodontal pockets in a patient, comprising administering a composition of claim 14.
21. A method according to claim 14, wherein said suitable carrier is selected from the group consisting of toothpaste, mouthwash, lozenges, chewing gum and toothpowder.

For the Applicant

WOLFF, BREGMAN AND GOLLER

by:

S. Goller